* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04	EMBASE - Database reloaded and enhanced
NEWS	16	APR 18	New CAS Information Use Policies available online
NEWS	17	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	18	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:28:13 ON 18 MAY 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

STN Columbus

FILE 'REGISTRY' ENTERED AT 13:28:19 ON 18 MAY 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAY 2005 HIGHEST RN 850605-77-5
DICTIONARY FILE UPDATES: 17 MAY 2005 HIGHEST RN 850605-77-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
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****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s eplerenone/cn
L1 1 EPLERENONE/CN

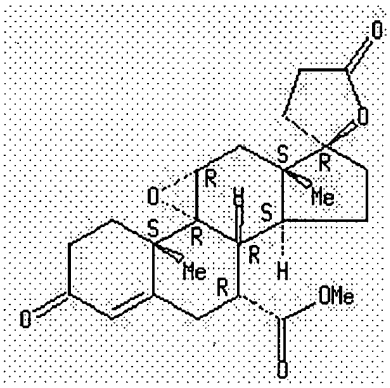
=> d

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 107724-20-9 REGISTRY
ED Entered STN: 26 Apr 1987
CN Pregn-4-ene-7,21-dicarboxylic acid, 9,11-epoxy-17-hydroxy-3-oxo-,
γ-lactone, methyl ester, (7α,11α,17α)- (9CI) (CA
INDEX NAME)
OTHER CA INDEX NAMES:
CN Spiro[9,11-epoxy-9H-cyclopenta[a]phenanthrene-17(2H),2'(3'H)-furan],
pregn-4-ene-7,21-dicarboxylic acid deriv.
OTHER NAMES:
CN CGP 30083
CN **Eplerenone**
CN Epoxymexrenone
CN Inspra
CN SC 6110
CN SC 66110
FS STEREOSEARCH
MF C24 H30 O6
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOSIS, BIOTECHNO, CA,

STN Columbus

CAPLUS, CASREACT, CIN, DDFU, DIOGENES, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

190 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
192 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s eplerenone
L2 1 EPLERENONE

=> fil medl Hcapl biosis Uspatf wpids

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.47	11.68

FILE 'MEDLINE' ENTERED AT 13:29:07 ON 18 MAY 2005

FILE 'HCAPLUS' ENTERED AT 13:29:07 ON 18 MAY 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE 'BIOSIS' ENTERED AT 13:29:07 ON 18 MAY 2005

FILE 'USPATFULL' ENTERED AT 13:29:07 ON 18 MAY 2005

FILE 'WPIDS' ENTERED AT 13:29:07 ON 18 MAY 2005

=> s l2

```
COMMAND INTERRUPTED
   4 FILES SEARCHED...
If this message appears repeatedly, please notify the Help Desk.
Enter "HELP STN" for information on contacting the nearest STN Help
Desk by telephone or via SEND in the STNMAIL file.

=> s l2
L3          499 L2

=> s heart failure
L4       168243 HEART FAILURE

=> s l3 and l4
L5          235 L3 AND L4

=> s l3 (S) l4
L6           30 L3 (S) L4

=> d ibib abs 27-30

L6   ANSWER 27 OF 30  WPIDS  COPYRIGHT 2005 THE THOMSON CORP on STN
Full Text
ACCESSION NUMBER:      2002-062616 [08]   WPIDS
CROSS REFERENCE:       2001-343553 [36]; 2002-055827 [07]; 2002-195909 [25];
                       2002-227077 [28]; 2003-843079 [78]; 2003-864187 [80];
                       2004-021401 [02]
DOC. NO. CPI:          C2002-017915
TITLE:                 Treatment of pathogenic effects in patients with
                       sub-normal endogenous aldosterone level, salt sensitivity
                       and/or elevated sodium dietary intake comprises
                       administration of epoxy-steroidal aldosterone
                       antagonists.
DERWENT CLASS:         B01
INVENTOR(S):           FEDDE, K N; FUNDER, J W; GARTHWAITE, S M; ROCHA, R;
                       RONIKER, B; WILLIAMS, G H
PATENT ASSIGNEE(S):    (PHAA) PHARMACIA CORP
COUNTRY COUNT:         93
PATENT INFORMATION:

     PATENT NO        KIND DATE     WEEK      LA   PG
     ---------------------------------------------
     WO 2001095893    A1 20011220 (200208)* EN  317
        RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
            NL OA PT SD SE SL SZ TR TZ UG ZW
         W: AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ
            EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK
            LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI
            SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
     AU 2001016580    A  20011224 (200227)

APPLICATION DETAILS:

     PATENT NO       KIND                  APPLICATION          DATE
     ------------------------------------------------------------------
     WO 2001095893   A1                  WO 2000-US31263      20001114
```

AU 2001016580 A AU 2001-16580 20001114

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2001016580	A	Based on	WO 2001095893

PRIORITY APPLN. INFO: US 2000-233056P 20000914; US
2000-211064P 20000613; US
2000-211250P 20000613; US
2000-211253P 20000613; US
2000-211264P 20000613; US
2000-211311P 20000613; US
2000-211340P 20000613; US
2000-211451P 20000613; US
2000-211459P 20000613; US
2000-221358P 20000727; US
2000-221364P 20000727

AN 2002-062616 [08] WPIDS

CR 2001-343553 [36]; 2002-055827 [07]; 2002-195909 [25]; 2002-227077 [28]; 2003-843079 [78]; 2003-864187 [80]; 2004-021401 [02]

AB WO 200195893 A UPAB: 20040107

NOVELTY - Treatment or prophylaxis of one or more pathogenic effects in a human comprises administration of one or more epoxy-steroidal aldosterone antagonists, where the patient has a sub-normal endogenous aldosterone level, salt sensitivity and/or elevated sodium dietary intake.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a method for treatment or prophylaxis of heart failure in a human suffering from or susceptible to cardiovascular disease comprising administration of an angiotensin converting enzyme (ACE) inhibitor, a loop diuretic and one or more aldosterone antagonists, where the patient has salt sensitivity or elevated dietary sodium intake;

(2) a method for reducing or reversing the progression of salt sensitivity by administering one or more epoxy-steroidal aldosterone antagonists;

(3) a method of reducing or preventing one or more pathogenic effects resulting from aberrant aldosterone levels in the brain by administering one or more epoxy-steroidal aldosterone antagonists;

(4) a method for reducing or preventing one or more pathogenic effects resulting from aberrant sodium retention in the kidney by administering one or more epoxy-steroidal aldosterone antagonists;

(5) a method for treating salt-sensitive hypertension by administering eplerenone; and

(6) a method for treating salt-sensitive **heart failure** by administering **eplerenone**.

ACTIVITY - Cardiant; Vasotropic; Hypotensive; Nephrotropic; Antidiabetic; Opthalmological; Cerebroprotective; Hepatotropic; Neuroprotective; Antimigraine; Antiinflammatory.

A test to demonstrate that eplerenone can prevent aldosterone/salt-mediated early cardiovascular injury to the heart was carried out in male Wistar rats. The model combined elevated blood pressure, moderately high blood salt intake, an activated renin-angiotensin-aldosterone system (RAAS) and suppressed nitric oxide production. The model involved inhibiting nitric oxide synthase with Nomega-nitro-L-arginine methyl ester (L-NAME) for 14 days in 1% NaCl-drinking rats combined with a 3-day infusion of angiotensin II on days 11-14. At the end of the experiment, cardiac hypertrophy index was higher in rats receiving L-NAME/angiotensin II/NaCl. Infusion of

aldosterone reversed the effect of adrenalectomy on cardiac hypertrophy index.

MECHANISM OF ACTION - Aldosterone antagonist.

USE - For treating hypertension, cardiovascular disease, heart failure, vascular disease, renal dysfunction, liver disease, cerebrovascular disease, retinopathy, neuropathy, insulinopathy, edema, endothelial dysfunction, baroreceptor dysfunction, migraine, hot flashes, premenstrual tension and salt sensitivity (all claimed).

Dwg.0/0

L6 ANSWER 28 OF 30 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

Full Text

ACCESSION NUMBER: 2001-451592 [48] WPIDS

DOC. NO. CPI: C2001-136351

TITLE: Nanoparticulate eplerenone, which is useful in treatment of hyperaldosteronism and related conditions, exhibits improved bioavailability and can be used at reduced dosages.

DERWENT CLASS: A96 B01

INVENTOR(S): DESAI, S; GOKHALE, R D; THOSAR, S S; TOLBERT, D S

PATENT ASSIGNEE(S): (PHAA) PHARMACIA CORP; (DESA-I) DESAI S; (GOKH-I) GOKHALE R D; (THOS-I) THOSAR S S; (TOLB-I) TOLBERT D S

COUNTRY COUNT: 95

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001041770	A2	20010614	(200148)*	EN	64
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
AU 2001017562	A	20010618	(200161)		
US 2002006919	A1	20020117	(200212)		
EP 1175220	A2	20020130	(200216)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR					
US 2003212053	A1	20031113	(200382)		
EP 1527782	A1	20050504	(200530)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR					

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 2001041770	A2		WO 2000-US30179	20001204
AU 2001017562	A		AU 2001-17562	20001204
US 2002006919	A1	Provisional	US 1999-169658P	19991208
		Provisional	US 2000-208981P	20000602
			US 2000-732246	20001207
EP 1175220	A2		EP 2000-980277	20001204
			WO 2000-US30179	20001204
US 2003212053	A1	Provisional	US 1999-169658P	19991208
		Provisional	US 2000-208981P	20000602
		Div ex	US 2000-732246	20001207
			US 2003-417602	20030416
EP 1527782	A1	Div ex	EP 2000-980277	20001204
			EP 2004-30120	20001204

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001017562	A Based on	WO 2001041770
EP 1175220	A2 Based on	WO 2001041770
EP 1527782	A1 Div ex	EP 1175220

PRIORITY APPLN. INFO: US 2000-208981P 20000602; US
1999-169658P 19991208; US
2000-732246 20001207; US
2003-417602 20030416

AN 2001-451592 [48] WPIDS

AB WO 200141770 A UPAB: 20010829

NOVELTY - Eplerenone particles, in which 90 wt.% of the particles are smaller than 15 microns, are new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a pharmaceutical composition comprising 10-1,000 mg of the eplerenone particles and one or more excipients.

ACTIVITY - Hypotensive; Cardiant; Cerebroprotective; Vasotropic; Hepatotropic.

MECHANISM OF ACTION - Aldosterone receptor antagonist.

USE - **Eplerenone** (9,11 alpha -epoxy-17 alpha -3-oxopregn-4-ene-7 alpha ,21-dicarboxylate, gamma -lactone) is an aldosterone receptor antagonist which can be used in treatment of conditions associated with hyperaldosteronism, e.g., **heart failure**, cardiac insufficiency, hypertension, edema associated with liver insufficiency, post-myocardial infarction, cirrhosis of the liver and accelerated heart rate. It can be used to prevent stroke.

ADVANTAGE - Reducing the particle size of solid eplerenone can improve the bioavailability of eplerenone and reduce the amount of eplerenone administered. Eplerenone interacts minimally with steroid receptors other than aldosterone receptors, e.g. progestin, androgen or glucocorticoid receptors.

Dwg.0/0

L6 ANSWER 29 OF 30 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
Full Text

ACCESSION NUMBER:	2001-441528 [47] WPIDS
CROSS REFERENCE:	1997-341331 [31]; 1998-413631 [35]; 2001-464975 [50]
DOC. NO. CPI:	C2001-133333
TITLE:	New Form L crystalline eplerenone, useful for treating or preventing aldosterone-mediated conditions such as hypertension.
DERWENT CLASS:	B01 D16
INVENTOR(S):	BARTON, K P; BORSCHARDT, T B; CARLOS, M V; DESAI, S; FERRO, L J; GANSER, S; GAUD, H T; LITTLE, C R; MUDIPALLI, P S; PIETZ, M A; PILIPAUSKAS, D R; SING, Y L; STAHL, G L; WEICZOREK, J J; YAN, C Y; WIECZOREK, J J; BORCHARDT, T; BORCHARDT, T B; GANSER, S S
PATENT ASSIGNEE(S):	(PHAA) PHARMACIA CORP; (BART-I) BARTON K P; (BORC-I) BORCHARDT T B; (CARL-I) CARLOS M V; (DESA-I) DESAI S; (FERR-I) FERRO L J; (GANS-I) GANSER S S; (GAUD-I) GAUD H T; (LITT-I) LITTLE C R; (MUDI-I) MUDIPALLI P S; (PIET-I) PIETZ M A; (PILI-I) PILIPAUSKAS D R; (SING-I) SING Y L; (STAH-I) STAHL G L; (WIEC-I) WIECZOREK J J; (YANC-I) YAN C Y
COUNTRY COUNT:	87
PATENT INFORMATION:	

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001041535	A2	20010614	(200147)*	EN	165
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW					
AU 2001020411	A	20010618	(200161)		
NO 2001003856	A	20011008	(200171)		
NO 2001003857	A	20011008	(200171)		
EP 1175434	A2	20020130	(200216)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR					
BR 2000008054	A	20020312	(200226)		
US 2002045746	A1	20020418	(200228)		
KR 2001112261	A	20011220	(200239)		
KR 2002003192	A	20020110	(200247)		
HU 2002001457	A2	20020828	(200264)		
ZA 2001007147	A	20030226	(200321)		176
JP 2003515611	W	20030507	(200331)		183
US 2003083493	A1	20030501	(200331)		
CN 1433427	A	20030730	(200365)		
NZ 513962	A	20040827	(200460)		
AU 2004242560	A1	20050127	(200525)#		

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 2001041535	A2		WO 2000-US30178	20001204
AU 2001020411	A		AU 2001-20411	20001204
NO 2001003856	A		WO 2000-US32416	20001204
			NO 2001-3856	20010808
NO 2001003857	A		WO 2000-US30178	20001204
			NO 2001-3857	20010808
EP 1175434	A2		EP 2000-983683	20001204
			WO 2000-US30178	20001204
BR 2000008054	A		BR 2000-8054	20001204
			WO 2000-US30178	20001204
US 2002045746	A1	Provisional	US 1995-8455P	19951211
		Div ex	US 1996-763910	19961211
		Provisional	US 1997-49388P	19970611
		CIP of	WO 1997-US23090	19971211
		CIP of	US 1999-246204	19990208
		CIP of	US 1999-246908	19990209
		Provisional	US 1999-169556P	19991208
		Provisional	US 1999-169608P	19991208
		Provisional	US 1999-169639P	19991208
		Provisional	US 1999-169683P	19991208
		Provisional	US 1999-169707P	19991208
		Provisional	US 1999-169807P	19991208
		CIP of	US 1999-319673	19991213
		CIP of	US 2000-583137	20000530
		CIP of	US 2000-583158	20000530
			US 2000-732209	20001207
KR 2001112261	A		KR 2001-710043	20010808
KR 2002003192	A		KR 2001-710042	20010808

HU 2002001457	A2		WO 2000-US30178	20001204
			HU 2002-1457	20001204
ZA 2001007147	A		ZA 2001-7147	20010829
JP 2003515611	W		WO 2000-US30178	20001204
			JP 2001-542722	20001204
US 2003083493	A1	Provisional	US 1999-169556P	19991208
		Provisional	US 1999-169608P	19991208
		Provisional	US 1999-169639P	19991208
		Provisional	US 1999-169683P	19991208
		Provisional	US 1999-169707P	19991208
		Provisional	US 1999-169807P	19991208
		Cont of	US 2000-732209	20001207
			US 2002-191626	20020709
CN 1433427	A		CN 2000-805771	20001204
NZ 513962	A		NZ 2000-513962	20001204
			WO 2000-US30178	20001204
AU 2004242560	A1	Div ex	AU 2001-20411	20001204
			AU 2004-242560	20041231

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2001020411	A	Based on	WO 2001041535
EP 1175434	A2	Based on	WO 2001041535
BR 2000008054	A	Based on	WO 2001041535
US 2002045746	A1	Div ex	US 5981744
		Div ex	US 6180780
		CIP of	US 6258946
HU 2002001457	A2	Based on	WO 2001041535
JP 2003515611	W	Based on	WO 2001041535
NZ 513962	A	Div in	NZ 533700
		Based on	WO 2001041535

PRIORITY APPLN. INFO: US 1999-169807P 19991208; US
1999-169556P 19991208; US
1999-169608P 19991208; US
1999-169639P 19991208; US
1999-169683P 19991208; US
1999-169707P 19991208; US
1999-169682P 19991208; US
1999-169690P 19991208; US
1995-8455P 19951211; US
1996-763910 19961211; US
1997-49388P 19970611; WO
1997-US23090 19971211; US
1999-246204 19990208; US
1999-246908 19990209; US
1999-319673 19991213; US
2000-583137 20000530; US
2000-583158 20000530; US
2000-732209 20001207; US
2002-191626 20020709; AU
2004-242560 20041231

AN 2001-441528 [47] WPIDS
CR 1997-341331 [31]; 1998-413631 [35]; 2001-464975 [50]
AB WO 200141535 A UPAB: 20050419
NOVELTY - A new Form L crystalline eplerenone is disclosed.
DETAILED DESCRIPTION - (A) Form L crystalline eplerenone having a monoclinic crystal system and an X-ray powder diffraction pattern with a

peak at 8.0 plus or minus 0.2 deg. 2 Omega is claimed.

An INDEPENDENT CLAIM is also included for an eplerenone drug substance comprising Form L crystalline eplerenone.

ACTIVITY - Hypotensive; Cardiant; Hepatotropic; Cytostatic; Antidepressant.

MECHANISM OF ACTION - Aldosterone receptor antagonist.

USE - The Form L crystalline **eplerenone** can be used for treating or preventing an aldosterone-mediated condition or disorder (claimed). It can be used for the treatment of conditions associated with hyperaldosteronism such as hypertension, **heart failure** including cardiac insufficiency, cirrhosis of the liver, excess collagen, fibrosis, benign prostate hypertrophy or depression.

ADVANTAGE - The new crystalline form has a high degree of physical stability at normal temperatures of storage and use. It can be used with other forms of eplerenone to provide compositions having a variety of dissolution profiles, including controlled-release compositions..

Dwg.0/26

L6 ANSWER 30 OF 30 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

Full Text

ACCESSION NUMBER: 2000-524152 [47] WPIDS

DOC. NO. CPI: C2000-155613

TITLE: Novel composition containing 10 - 100 mg **eplerenone**, useful for the treatment of e.g. **heart failure**, hypertension and post-myocardial infarction, exhibits superior activity, potency and safety than prior art.

DERWENT CLASS: A96 B02 C02

INVENTOR(S): GOKHALE, R D; THOSAR, S S; TOLBERT, D S

PATENT ASSIGNEE(S): (SEAR) SEARLE & CO G D; (GOKH-I) GOKHALE R D; (THOS-I) THOSAR S S; (TOLB-I) TOLBERT D S

COUNTRY COUNT: 91

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000033847	A1	20000615	(200047)*	EN	196
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
AU 2000019368	A	20000626	(200047)		
NO 2001002782	A	20010703	(200154)		
EP 1135139	A1	20010926	(200157)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
BR 9915964	A	20010828	(200158)		
CZ 2001001942	A3	20011212	(200206)		
CN 1329494	A	20020102	(200227)		
KR 2001101132	A	20011114	(200230)		
MX 2001005647	A1	20010801	(200238)		
US 6410054	B1	20020625	(200246)		
HU 2001004718	A2	20020528	(200249)		
US 2002136775	A1	20020926	(200265)		
ZA 2001004361	A	20020731	(200271)		205
JP 2002531508	W	20020924	(200278)		171
US 6495165	B1	20021217	(200307)		
US 6534093	B1	20030318	(200322)		
US 2003072808	A1	20030417	(200329)		

US 6558707	B1	20030506 (200338)
NZ 511869	A	20030530 (200341)
US 6592902	B2	20030715 (200348)
AU 763166	B	20030717 (200356)
EP 1135139	B1	20030910 (200360) EN
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT RO SE		
DE 69911240	E	20031016 (200376)
US 2003215518	A1	20031120 (200377)
ES 2207977	T3	20040601 (200437)
US 2004192661	A1	20040930 (200465)
US 6863902	B2	20050308 (200518)

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 2000033847	A1		WO 1999-US29136	19991208
AU 2000019368	A		AU 2000-19368	19991208
NO 2001002782	A		WO 1999-US29136	19991208
			NO 2001-2782	20010606
EP 1135139	A1		EP 1999-963052	19991208
			WO 1999-US29136	19991208
BR 9915964	A		BR 1999-15964	19991208
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CZ 2001001942	A3		WO 1999-US29136	19991208
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CN 1329494	A		CN 1999-814110	19991208
KR 2001101132	A		KR 2001-707041	20010605
MX 2001005647	A1		MX 2001-5647	20010605
US 6410054	B1	Provisional	US 1998-111646P	19981209
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			US 2002-66360	20020131
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FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000019368	A Based on	WO 2000033847
EP 1135139	A1 Based on	WO 2000033847
BR 9915964	A Based on	WO 2000033847
CZ 2001001942	A3 Based on	WO 2000033847
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EP 1135139	B1 Based on	WO 2000033847
DE 69911240	E Based on	EP 1135139
	Based on	WO 2000033847
US 2003215518	A1 Cont of	US 6410054
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ES 2207977	T3 Based on	EP 1135139
US 2004192661	A1 Cont of	US 6410054
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US 6863902	B2 Cont of	US 6410054
	Div ex	US 6534093

PRIORITY APPLN. INFO: US 1998-111646P 19981209; US
1999-456614 19991208; US
2002-66360 20020131; US
2002-101361 20020319; US
2002-100930 20020319; US
2002-100712 20020319; US
2002-289025 20021106; US
2004-817577 20040402

AN 2000-524152 [47] WPIDS

AB WO 200033847 A UPAB: 20000925

NOVELTY - A composition (I) comprising 10 - 1000 mg eplerenone and a carrier is new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is provided for the preparation of (I).

ACTIVITY - Hypotensive; cardioactive; antiinflammatory.

The efficacy of eplerenone in the treatment of hypertension was evaluated in a multi-center, randomized, double-blind, placebo-lead-in, parallel group study. A treatment regimen of 200 mg eplerenone BID (not defined) resulted in a decrease in diastolic blood pressure of 9.4 mm Hg, compared to 1.00 for the placebo.

MECHANISM OF ACTION - Aldosterone receptor antagonist (claimed).

USE - (I) causes an average increase in blood serum renin concentrations over an interval of 12 - 24 hours after ingestion of at least 10 %, an increase in blood serum aldosterone concentrations of at least 50 % and an average decrease in diastolic blood pressure of at least 5 % (claimed). The composition is used to treat heart failure, hypertension, edema associated with liver insufficiency and post-myocardial infarction (claimed).

ADVANTAGE - (I) exhibits superior activity, potency, safety and therapeutic effectiveness than prior art.

Dwg.0/2

=> d l3 ibib abs 499

L3 ANSWER 499 OF 499 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
Full Text

ACCESSION NUMBER: 2000-317820 [27] WPIDS
DOC. NO. CPI: C2000-096186
TITLE: Treating weight loss due to cachexia which occurs in liver cirrhosis, cardiac cachexia involves administering an agent which reduces sympathetic nervous system activity and/or improves cardiovascular reflex status.
DERWENT CLASS: B05
INVENTOR(S): ANKER, S D; COATS, A J S
PATENT ASSIGNEE(S): (IMCO-N) IMPERIAL COLLEGE INNOVATIONS LTD
COUNTRY COUNT: 21
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000021509	A2	20000420	(200027)*	EN	72
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: JP US					
EP 1121111	A2	20010808	(200146)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
JP 2002527378	W	20020827	(200271)		74

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000021509	A2	WO 1999-GB3302	19991015
EP 1121111	A2	EP 1999-947762	19991015
		WO 1999-GB3302	19991015
JP 2002527378	W	WO 1999-GB3302	19991015
		JP 2000-575485	19991015

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 1121111	A2	Based on	WO 2000021509
JP 2002527378	W	Based on	WO 2000021509

PRIORITY APPLN. INFO: GB 1999-17181 19990723; GB
1998-22458 19981015; GB
1998-22459 19981015

AN 2000-317820 [27] WPIDS

AB WO 200021509 A UPAB: 20000606

NOVELTY - Treating weight loss due to an underlying disease in a patient comprises administering an agent (I) which reduces sympathetic nervous system activity and/or improves cardiovascular reflex status.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) treating weight loss due to an underlying disease in a patient which involves administering any one of the following compounds (III): a compound which inhibits the effect of aldosterone such as an aldosterone antagonist; a chymase inhibitor; a cathepsin inhibitor; a beta receptor blocker; an imidazoline receptor antagonist; a centrally acting alpha receptor antagonist; a peripherally acting alpha receptor antagonist; a ganglion blocking agent; a drug that has an effect on cardiovascular reflexes and thereby reduce SNS activity such as an opiate; scopolamine; endothelin receptor antagonist; a xanthine oxidase inhibitor; or erythropoietin;

(2) treating weight loss due to underlying disease in a patient which involves electrically stimulating the patient's muscles;

(3) use of the above mentioned compounds in the manufacture of a medicament for preventing or treating weight loss due to underlying disease (idiopathic cachexia) or aging in a patient and also for manufacture of an agent for enhancing exercise performance in a healthy individual;

(4) preventing or treating weight loss due to the aging process in the patient which involves administering an agent (I) which reduces sympathetic nervous system activity, or (III);

(5) preventing or treating weight loss due to aging process which involves electrically stimulating the patient's muscles;

(6) enhancing exercise performance in a patient by administering (I) which reduces sympathetic nervous system activity, or (III);

(7) enhancing exercise performance in a patient by which involves electrically stimulating the patient's muscles;

(8) preventing weight loss consequent to a cardiovascular disorder in a patient at risk of heart disease which involves administering (III) with an inhibiting effect on aldosterone; a beta receptor blocker; an imidazoline receptor antagonist; a centrally acting alpha receptor agonist; a peripherally acting alpha receptor antagonist; or a ganglion blocking agent.

ACTIVITY - Anabolic.

The biological activity of (III) was tested in human cachexia patients. A patient with cachexia due to chronic heart failure (CHF) and a second patient with CHF and a muscle myopathy suffering from idiopathic cachexia were treated with 50 mg of an angiotensin II receptor antagonist (losartan) daily. The clinical status and parameters of body composition, strength and treadmill exercise capacity at base line and during follow-up was study for 126 days in patient 1 and 83 days in patient 2. The results showed that in both patients the exercise capacity was improved during the study. Also patient 1 had a weight gain of 4.6 kg.

MECHANISM OF ACTION - Sympathetic nervous system activator; Cardiac reflex status enhancer (claimed).

USE - The method is useful for treating weight loss in a patient having idiopathic cachexia with an underlying disease such as AIDS, liver cirrhosis, chronic obstructive pulmonary disease with or without emphysema, chronic renal failure, chronic infections, cancer, heart disease including hypertension and chronic heart failure (claimed).

Dwg.0/5

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FULL ESTIMATED COST                                        47.28      58.96

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STRUCTURE FILE UPDATES:   17 MAY 2005  HIGHEST RN 850605-77-5
DICTIONARY FILE UPDATES:  17 MAY 2005  HIGHEST RN 850605-77-5

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
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http://www.cas.org/ONLINE/DBSS/registryss.html

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L7            1 QUINAPRIL/CN

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FILE 'WPIDS' ENTERED AT 13:39:30 ON 18 MAY 2005

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L8 1609 L7

=> d his

(FILE 'HOME' ENTERED AT 13:28:13 ON 18 MAY 2005)

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L2 1 S EPLERENONE

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L3 499 S L2
L4 168243 S HEART FAILURE
L5 235 S L3 AND L4
L6 30 S L3 (S) L4

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L7 1 S QUINAPRIL/CN

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L10 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
Full Text
ACCESSION NUMBER: 1991:400473 HCAPLUS
DOCUMENT NUMBER: 115:473
TITLE: Effects of quinapril, a new angiotensin converting enzyme inhibitor, on left ventricular failure and survival in the cardiomyopathic hamster. Hemodynamic, morphological, and biochemical correlates
AUTHOR(S): Haleen, Stephen J.; Weishaar, Ronald E.; Overhiser, Ronald W.; Bousley, Richard F.; Keiser, Joan A.; Rapundalo, Stephen R.; Taylor, David G.
CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SOURCE: Circulation Research (1991), 68(5), 1302-12
CODEN: CIRUAL; ISSN: 0009-7330
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of chronic therapy with quinapril on the temporal progression of left ventricular failure and survival was assessed in the CHF 146 cardiomyopathic (CM) hamster, which is an idiopathic model of congestive

heart failure. Age-matched Golden Syrian (GS) hamsters served as normal controls. Quinapril was administered in the drinking water at av. daily doses of 10.2, 112.4, and 222.4 mg/kg/day. In untreated CM hamsters, in vitro left ventricular performance progressively deteriorated with increasing age beginning at roughly 180 days. This decline in left ventricular performance was accompanied by a decrease in coronary flow and an increase in left ventricular vol. Administration of quinapril from 180 to 300 days of age prevented the decline of in vitro left ventricular contractile performance and coronary flow and also reduced the age-dependent increases in left ventricular vol. The cardioprotective effects of quinapril were obsd. at doses of 112.4 and 222.4 mg/kg/day but not at 10.2 mg/kg/day. Lung angiotensin-converting enzyme activity was significantly inhibited by quinapril in GS and CM hamsters at 240 and 300 days of age at all dose levels. In contrast, significant inhibition of ventricular angiotensin converting enzyme activity was obsd. consistently at doses of 112.4 and 222.4 mg/kg/day quinapril but not at 10.2 mg/kg/day. In the survival protocol, CM and GS hamsters were treated with vehicle or quinapril (100 mg/kg/day) from 180 to 522 days of age. During the initial 210 days of treatment (from 180 to 390 days of age) 78.3% of the vehicle-treated CM hamsters died compared with 27.7% of quinapril-treated CM hamsters. Quinapril increased the median survival of CM hamsters by 32.9% (112 days). Thus, chronic quinapril therapy exerts a significant cardioprotective effect and also increases survival.

L10 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
Full Text

ACCESSION NUMBER: 1991:199681 HCAPLUS
DOCUMENT NUMBER: 114:199681
TITLE: Treatment of heart failure with a quinolone derivative combined with an angiotensin-converting enzyme inhibitor
INVENTOR(S): O'Connor, Patrick Coleman; Defesche, Charles Leon Marie
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9010445	A1	19900920	WO 1990-EP430	19900312
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9052616	A1	19901009	AU 1990-52616	19900312
AU 640128	B2	19930819		
JP 04503806	T2	19920709	JP 1990-504547	19900312
EP 527720	A1	19930224	EP 1990-904349	19900312
EP 527720	B1	19940817		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
ES 2057542	T3	19941016	ES 1990-904349	19900312
ZA 9001945	A	19910130	ZA 1990-1945	19900314
IL 93744	A1	19950629	IL 1990-93744	19900314
PRIORITY APPLN. INFO.:			US 1989-324213 A2	19890315
			WO 1990-EP430 A	19900312

OTHER SOURCE(S): MARPAT 114:199681
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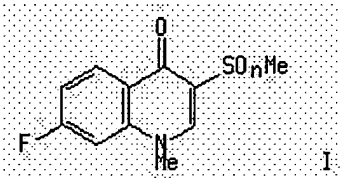

I

AB The quinolone derivs. I (n = 1, 2) coadministered with angiotensin-converting enzyme inhibitors are more effective drugs for the treatment of heart failure, than the latter alone. Patients with congestive heart failure, that have received a daily dose 76.3 mg Captopril/day, and showed improvement of the hemodynamic parameters when the Captopril dose was reduced to 1/3 and Flosequinan (150 mg) was coadministered. Formulation examples are given.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

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